

CLAIMS

1. A pharmaceutical formulation essentially comprising

5 a) an inner layer, which may where appropriate be applied to a core, with the active ingredient budesonide, bound in a binder

10 b) an intermediate layer with a polymeric coating agent which is soluble in intestinal juice or extends release,

15 c) an outer envelope which is resistant to gastric juice or an outer layer with a coating agent which is resistant to gastric juice

where the layers may comprise in a manner known per se further pharmaceutically usual excipients,

20 characterized in that

the binder is a polymer or copolymer with acidic groups, and the formulation of the inner layer without intermediate and outer layer releases the
25 bound active ingredient in the release test according to USP XXIII monograph <711> "Dissolution" with apparatus 2 (paddle) with 100 revolutions/min in phosphate buffer of pH 7.5 to the extent of more than 80% after 30 min.

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2. The pharmaceutical formulation as claimed in claim 1, characterized in that the polymeric binder is a (meth)acrylate copolymer which comprises 40 to 95% by weight free-radical polymerized units of C₁- to C₄-alkyl esters of acrylic or methacrylic acid and 5 to 60% by weight (meth)-acrylate monomers with an anionic group in the alkyl radical.
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3. The pharmaceutical formulation as claimed in claim 1 or 2, characterized in that the polymeric binder is a vinylpyrrolidone/vinyl acetate copolymer.
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4. The pharmaceutical formulation as claimed in one or more of claims 1 to 3, characterized in that the intermediate layer is a (meth)acrylate copolymer which comprises 40 to 100% by weight free-radical polymerized units of C₁- to C₄-alkyl esters of acrylic or methacrylic acid and no or up to 60% by weight (meth)acrylate monomers with an anionic group in the alkyl radical.
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5. The pharmaceutical formulation as claimed in one or more of claims 1 to 3, characterized in that the intermediate layer is a (meth)acrylate copolymer which comprises 85 to 98% by weight free-radical polymerized units of C₁- to C₄-alkyl esters of acrylic or methacrylic acid and 15 to 2% by weight (meth)acrylate monomers with a quaternary ammonium group in the alkyl radical.
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6. The pharmaceutical formulation as claimed in one or more of claims 1 to 5, characterized in that the outer coating agent which is resistant to gastric juice is a (meth)acrylate copolymer which comprises 40 to 100% by weight free-radical polymerized units of C₁- to C₄-alkyl esters of acrylic or methacrylic acid and 5 up to 60% by weight (meth)acrylate monomers with an anionic group in the alkyl radical.
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7. The pharmaceutical formulation as claimed in one or more of claims 1 to 5, characterized in that the outer envelope which is resistant to gastric juice is a capsule.
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8. The pharmaceutical formulation as claimed in claim 6, characterized in that the capsule consists essentially of gelatin or of hydroxypropylcellulose.
9. The pharmaceutical formulation as claimed in claim 6 or 7, characterized in that the capsule is provided with a coating which is resistant to gastric juice.
10. The pharmaceutical formulation as claimed in claim 6, 7 or 8, characterized in that it comprises the active ingredient in the form of pellets or granules.
11. The pharmaceutical formulation as claimed in one or more of claims 1 to 10, characterized in that it is a multiparticulate pharmaceutical form with substantially uniform release of budesonide in the small intestine and in the large intestine, which comprises at least two different types of pellets, one type of pellet releasing the active ingredient predominantly in the pH range of the small intestine and the other predominantly in the pH range of the large intestine.
12. The pharmaceutical formulation as claimed in claim 11, characterized in that the pellets are enclosed in a capsule as claimed in one or more of claims 6 to 10.
13. The pharmaceutical formulation as claimed in claim 11, characterized in that the pellets are in the form of a tablet in which the pellets have been compressed together with conventional excipients to give the tablet unit.
14. Process for producing a pharmaceutical formulation as claimed in one or more of claims 1 to 13,

characterized in that firstly an inner layer a) in which budesonide is bound in a polymeric binder with acidic groups is produced in a manner known per se by spray application or melt processing, where the inner layer a) is where appropriate applied to a core, and subsequently the intermediate layer b) and the outer layer c) are applied in a manner known per se by spray application or melt processing.

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15. The process for producing a pharmaceutical formulation as claimed in claim 14, characterized in that a binder as claimed in claim 2 is employed in the form of a dispersion, and the inner layer a) is produced by aqueous spraying of a budesonide-containing (meth)acrylate copolymer dispersion onto cores, with binding of the budesonide after evaporation of the water.

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20 16. The use of a pharmaceutical formulation as claimed in one or more of claims 1 to 13 as pharmaceutical form for the therapy of ulcerative colitis, Crohn's disease and/or other disorders of the gastrointestinal tract which can be treated with budesonide.

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